=>
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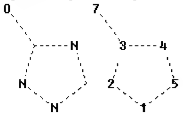

chain nodes :
7
ring nodes :
1 2 3 4 5
chain bonds :
3-7
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 3-7 4-5
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS

L1 STRUCTURE UPLOADED

=>
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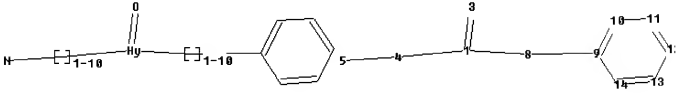

chain nodes :
1 3 4 5 8
ring nodes :
9 10 11 12 13 14
chain bonds :
1-3 1-4 1-8 4-5 8-9
ring bonds :
9-10 9-14 10-11 11-12 12-13 13-14
exact/norm bonds :
1-3 1-4 1-8 4-5 8-9
normalized bonds :
9-10 9-14 10-11 11-12 12-13 13-14
isolated ring systems :
containing 9 :

Match level :

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1:Atom  3:CLASS  4:CLASS  5:CLASS  8:CLASS  9:Atom  10:Atom  11:Atom  12:Atom
13:Atom
14:Atom
Generic attributes :
1:
Saturation              : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System     : Monocyclic

Element Count :
Node 1: Limited
    N,N3

L4      STRUCTURE UPLOADED


=> d his

     FILE 'REGISTRY' ENTERED AT 15:03:52 ON 03 JUL 2008
L1              STRUCTURE UPLOADED
L3        45134 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L6          289 S L4 SSS FULL SUB=L3

     FILE 'CAPLUS' ENTERED AT 15:04:38 ON 03 JUL 2008
L7            39 S L6

     FILE 'REGISTRY' ENTERED AT 15:04:42 ON 03 JUL 2008

     FILE 'CAPLUS' ENTERED AT 15:04:52 ON 03 JUL 2008
L8             1 S US200!-529634/APPS
L9             1 S L7 AND L8
L10           38 S L7 NOT L8

     FILE 'REGISTRY' ENTERED AT 15:05:11 ON 03 JUL 2008

=> d l1
L1 HAS NO ANSWERS
L1              STR
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Structure attributes must be viewed using STN Express query preparation.


=> d l4
L4 HAS NO ANSWERS
L4              STR
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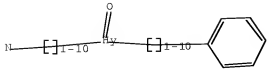

Structure attributes must be viewed using STN Express query preparation.

=> fil caplus

=> d l9 bib abs

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN - INSTANT

AN 2004:331911 CAPLUS Full-text
DN 140:339330
TI Preparation of 1,2,4-triazole-5-ones as inhibitors of mitotic kinesin KSP
IN Bergnes, Gustave; Qian, Xianping; Morgans, David J., Jr.; Knight, Steven David; Dhanak, Dashyant
PA Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004032840	A2	20040422	WO 2003-US31413	20031002
	WO 2004032840	A3	20041014		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2501938	A1	20040422	CA 2003-2501938	20031002
	AU 2003282665	A1	20040504	AU 2003-282665	20031002
	EP 1558588	A2	20050803	EP 2003-774548	20031002
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015247	A	20050830	BR 2003-15247	20031002
	JP 2006502219	T	20060119	JP 2004-543136	20031002
	CN 1726198	A	20060125	CN 2003-80105737	20031002
	NZ 539643	A	20061130	NZ 2003-539643	20031002
	MX 2005PA03830	A	20050623	MX 2005-PA3830	20050411
	NO 2005002267	A	20050531	NO 2005-2267	20050510
	ZA 2005003733	A	20060222	ZA 2005-3733	20050510
	US 20060189671	A1	20060824	US 2005-529634	20051116 <--
PRAI	US 2002-417889P	P	20021011		
	WO 2003-US31413	W	20031002		

OS MARPAT 140:339330

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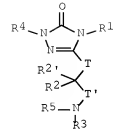

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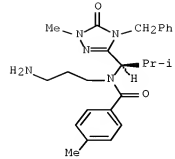

II

AB Title compds. I [T, T' = bond, alkylene; R1 = H, alkyl, aryl, etc.; R2-2' = H, alkyl, aryl, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, alkyl, carboxyalkyl, etc.; R5 = H, alkyl, aryl, etc.] are prepared For instance, (R)-N-(3-aminopropyl)-N-[1-(4-benzyl-1-methyl-5-oxo-4,5-dihydro-1H- [1,2,4]triazol-3-yl)-2-methylpropyl]-4-methylbenzamide (II) is prepared in 9 steps from Cbz-D-valine. I are useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP.

=> d l10 tot bib abs hitstr

√L10 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

PA √Bayer Healthcare AG, Germany

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007134862	A1	20071129	WO 2007-EP4615	√20070521

√L10 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

SO Journal of Heterocyclic Chemistry √ (2007), 44(6), 1271-1280

√L10 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

PA Janssen Pharmaceutica N.V., Belg.

PATENT NO.	KIND	DATE	√APPLICATION NO.	DATE
PI WO 2006067139	A1	20060629	WO 2005-EP56951	20051220

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SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU	2005318188	A1	20060629	AU 2005-318188	20051220
CA	2588028	A1	20060629	CA 2005-2588028	20051220
EP	1831185	A1	20070912	EP 2005-823472	20051220
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CN	101084201	A	20071205	CN 2005-80043606	20051220
IN	2007DN04693	A	20070817	IN 2007-DN4693	20070619
MX	200707472	A	20070720	MX 2007-7472	20070620
KR	2007090941	A	20070906	KR 2007-714061	20070621
NO	2007003760	A	20070719	NO 2007-3760	20070719
PRAI EP	2004-106817	A	20041221		
EP	2005-104873	A	20050603		
WO	2005-EP56951	W	√20051220		

√L10 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

PA China Lucky Film Group Corporation, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 26 pp.

	PATENT NO.	KIND	√DATE	√APPLICATION NO.	DATE
PI	CN 1580948	A	20050216	CN 2003-153287	20030814
PRAI	CN 2003-153287		20030814		

√L10 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

SO ARKIVOC (Gainesville, FL, United States) √ (2005), (1), 75-91

√L10 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

SO European Journal of Medicinal Chemistry √ (2004), 39(9), 793-804

√L10 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

SO Turkish Journal of Chemistry √ (2004), 28(3), 311-323

√L10 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004011429	A1	20040205	WO 2003-JP9405	20030724
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PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2003252259	A1	20040216	AU 2003-252259	20030724
	JP 2004107323	A	20040408	JP 2003-201906	20030725
PRAI	JP 2002-218452	A	20020726		
	WO 2003-JP9405	W	20030724		

OS MARPAT 140:163876

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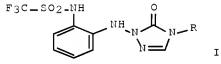


I

AB Title compds., e.g. I (R = alkyl, Ph, substituted Ph, pyridyl, pyrimidyl, etc.), useful as herbicides, are prepared Thus, 4-phenyl-2-(2-trifluoromethanesulfonylaminobenzyl)-2,4-dihydro-3H-1,2,4-triazol-3-one was prepared and showed herbicidal activity against Panicum crus-galli and Lindernia pyxidaria.

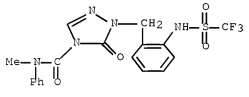
IT 653594-26-4P 653594-27-5P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of haloalkylsulfonanilide derivs. as herbicides)

RN 653594-26-4 CAPLUS

CN 4H-1,2,4-Triazole-4-carboxamide, 1,5-dihydro-N-methyl-5-oxo-N-phenyl-1-[[2-[[(trifluoromethyl)sulfonyl]amino]phenyl]methyl]- (CA INDEX NAME)



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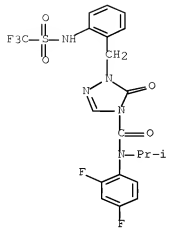
RN 653594-27-5 CAPLUS

CN 4H-1,2,4-Triazole-4-carboxamide, N-(2,4-difluorophenyl)-1,5-dihydro-N-(1-methylethyl)-5-oxo-1-[[2-[[(trifluoromethyl)sulfonyl]amino]phenyl]methyl]- (CA INDEX NAME)



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√L10 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:368462 CAPLUS Full-text

DN 136:386118

TI Preparation of (phenylalkyl)-1H-[1,2,4]triazolones as PPARα agonists for treatment of cardiovascular disease associated with Syndrome X and related conditions

IN Mantlo, Nathan Bryan; Collado Cano, Ivan; Dominianni, Samuel James; Etgen, Garret Jay, Jr.; Garcia-Paredes, Cristina; Johnston, Richard Duane; Letourneau, Michael Edward; Martinelli, Michael John; Mayhugh, Daniel Ray; Saeed, Ashraf; Thompson, Richard Craig; Wang, Xiadong; Coffey, David Scott; Schmid, Christopher Randall; Vicenzi, Jeffrey Thomas; Xu, Yanping

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 388 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002038553	A2	20020516	WO 2001-US42928	20011109
	WO 2002038553	A3	20030501		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2421154	A1	20020516	CA 2001-2421154	20011109
	AU 2002028592	A	20020521	AU 2002-28592	20011109
	EP 1335908	A2	20030820	EP 2001-989704	20011109
	EP 1335908	B1	20080213		
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	BR 2001014986	A	20030923	BR 2001-14986	20011109

	HU 2003001655	A2	20031229	HU 2003-1655	20011109
	JP 2004513166	T	20040430	JP 2002-541088	20011109
	AU 2002228592	B2	20060427	AU 2002-228592	20011109
	NZ 524569	A	20060526	NZ 2001-524569	20011109
	NZ 542242	A	20070427	NZ 1942-5422	20011109
	AT 386026	T	20080315	AT 2001-989704	20011109
	ES 2300378	T3	20080616	ES 2001-989704	20011109
	IN 2003KN00278	A	20050311	IN 2003-KN278	20030306
	ZA 2003002517	A	20040630	ZA 2003-2517	20030331
	NO 2003002059	A	20030624	NO 2003-2059	20030508
	HR 2003000365	A1	20030831	HR 2003-365	20030508
	MX 2003PA04141	A	20030819	MX 2003-PA4141	20030509
	KR 839705	B1	20080619	KR 2003-706301	20030509
	US 20040102500	A1	20040527	US 2003-415673	20030911
	US 7304062	B2	20071204		
	AU 2006202811	A1	20060720	AU 2006-202811	20060629
PRAI	US 2000-247317P	P	20001110		
	NZ 2001-524569	A3	20011109		
	WO 2001-US42928	W	20011109		
OS	MARPAT 136:386118				
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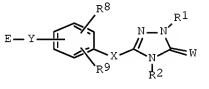

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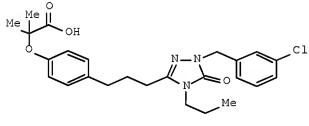


II

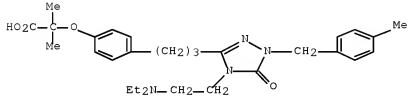
AB Title compds. I [wherein R1 = H or (un)substituted alkyl, (hetero)arylalkyl, cycloalkylarylalkyl, CH2COR17R18; R17 = O or NH; R18 = (un)substituted benzyl; W = O or S; R2 = H or (un)substituted (cyclo)alkyl, allyl, (hetero)arylalkyl, sulfonamido, amido, or OR10; R10 = H or alkyl; X = (un)substituted alkylene linker wherein 1 C may be replaced with O, NH, or S; Y = C, O, S, NH, or a single bond; E = H, CR3R4A; A, (un)substituted (CH2)nCO2C19, (aryl)alkyl, allyl, thioalkyl, thioaryl, alkoxyaryl, alkoxyalkyl, aminoaryl, or aminoalkyl; n = 0-3; A = carboxy, alkylnitrile, carboxamide, or (un)substituted sulfonamide, acylsulfonamide, or tetrazole; R3 = H, alkyl, or alkoxy; R4 = H, halo, or (un)substituted (cyclo)alkyl, alkoxy, arylalkyl, or Ph; or CR3R4 = cycloalkyl; R19 = H or (un)substituted arylmethyl or alkyl; R8 = independently H, alkyl, alkenyl, or halo; R9 = independently H, alkenyl, halo, allyl, OR10, or (un)substituted alkyl or (hetero)aryl; R10 = independently H or alkyl] were prepared as peroxisome proliferator activated receptor alpha (PPARα) agonists. For example, condensation of 3-chlorobenzaldehyde with 4-(4-

hydroxyphenyl)butyrylhydrazide (p-TsOH, i-PrOH), followed by reduction (NaBH3CN, THF, AcOH, i-PrOH), treatment with n-PrNCO (THF), and cyclization (KOH, MeOH), afforded 2-(3-chlorobenzyl)-5- [3-(4-hydroxyphenyl)propyl]-4-propyl-3H-triazolin-3-one. Addition of tert-Bu 2-bromoisobutyrate (K2CO3, DMF) and deesterification (TFA, CH2C12) gave II. I bound to PPARα receptors with IC50 values of ≤ 100 nM and demonstrated PPARα cotransfection efficacy in CV-1 cells of ≥ 50%. Significant reduction in RQ in female Ay mice [0.864 ± 0.013 (control) vs. 0.803 ± 0.007 (treated); p < 0.001] was observed at doses of 50 mg/kg of I. Addnl., treated animals displayed significantly higher rates of energy expenditure than control animals (17.40 ± 0.49 vs. 13.62 ± 0.26 kcal/kg/h, resp.). Thus, I are useful for the prevention and/or treatment of cardiovascular disease associated with Syndrome X, hyperinsulemia, hypertension, elevated body weight, elevate triglycerides, and elevated LDL.

IT 425671-36-9P 425672-06-6P 425672-27-1P
425672-29-3P 425672-30-6P 425672-31-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cardiovascular agent; preparation of (phenylalkyl)triazolones as PPARα agonists for treatment of cardiovascular disease associated with Syndrome X and related conditions)

RN 425671-36-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[4-[2-(diethylamino)ethyl]-4,5-dihydro-1-[(4-methylphenyl)methyl]-5-oxo-1H-1,2,4-triazol-3-yl]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



√ (OTHER CPDS DELETED - DO NOT APPLY TO GENUS 7-3-2008)

√L10 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:819473 CAPLUS Full-text

DN 134:5159

TI Preparation of tripeptoid analogs as serine protease inhibitors

IN Gyorkos, Albert C.; Spruce, Lyle W.

PA Cortech, Inc., USA

SO U.S., 107 pp., Cont-in-part of U. S. Ser. No. 761,190.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 18

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6150334	A	20001121	US 1997-985201	19971204
	US 5618792	A	19970408	US 1994-345820	19941121
	US 5807829	A	19980915	US 1996-761190	19961206

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     CA 2272548           A1    19980611    CA 1997-2272548        19971205
     WO 9824806           A2    19980611    WO 1997-US21636        19971205
     WO 9824806           A3    19981015
        W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
            UZ, VN, YU, ZW
        RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
            GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
            GN, ML, MR, NE, SN, TD, TG
     AU 9855894           A     19980629    AU 1998-55894          19971205
     AU 734615            B2    20010621
     EP 954526            A2    19991110    EP 1997-952232         19971205
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
     CN 1247542           A     20000315    CN 1997-180392         19971205
     TR 9901681           T2    20000321    TR 1999-1681           19971205
     JP 2001507679        T     20010612    JP 1998-525656         19971205
     JP 3220169           B2    20011022
     JP 2001192398        A     20010717    JP 2000-197432         19971205
     HU 2001000669        A2    20010828    HU 2001-669            19971205
     HU 2001000669        A3    20011228
     TR 200103270         T2    20030321    TR 2001-3270           19971205
     RU 2217436           C2    20031127    RU 1999-114606         19971205
     US 6037325           A     20000314    US 1998-69823          19980430
     US 6001813           A     19991214    US 1998-90046          19980603
     NO 9902734           A     19990802    NO 1999-2734           19990604
     MX 9905240           A     20000531    MX 1999-5240           19990604
PRAI US 1994-345820       A2    19941121
     US 1996-761190       A2    19961206
     US 1996-698575       A1    19960815
     US 1996-760916       A     19961206
     US 1996-761313       A     19961206
     US 1996-762381       A     19961206
     US 1996-771317       A     19961206
     US 1997-984881       A     19971204
     US 1997-984884       A     19971204
     US 1997-985056       A     19971204
     US 1997-985201       A     19971204
     US 1997-985298       A     19971204
     JP 1998-525656       A3    19971205
     WO 1997-US21636      W     19971205
OS   MARPAT 134:5159
GI
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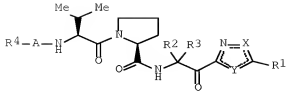

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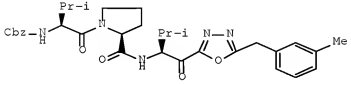


II

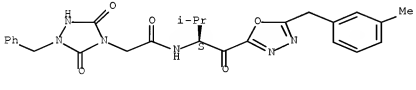
AB Tripeptides I [X, Y = O, N, or S, provided that at least one of X or Y = N; R1 = (un)substituted (C5-12)aryl, (C5-12)arylalkyl, (C5-12)arylalkenyl, fused (C5-12)aryl-cycloalkyl, alkyl- or alkenyl-fused (C5-12)aryl- cycloalkyl optionally comprising one or more heteroatoms selected from N, S, or non-peroxide O; R2, R3 = H or alkyl; A = CO, NHCO, SO2, O2C, or CH2; R4 = H, alkyl, alkenyl, cycloalkyl, aryl, or arylalkyl (with provisos)] were prepared as serine protease inhibitors, including inhibitors of human neutrophil elastase. Thus, peptide I (Cbz = benzyloxycarbonyl) (CE-2072) was prepared and showed Ki = 0.025 nM for inhibition of elastase.

IT 208846-11-1P, CE 2176
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tripeptoid analogs as serine protease inhibitors)

RN 208846-11-1 CAPLUS

CN 1,2,4-Triazolidine-4-acetamide, N-[(1S)-2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]-3,5-dioxo-1-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



√

√L10 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:144867 CAPLUS Full-text

DN 132:180582

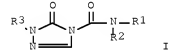
TI Preparation and herbicide compositions of triazolinone derivatives

IN Morita, Ken; Ohno, Toshiharu; Kido, Tsunehiro; Hirayama, Kazuo; Okita,

Hiroyuki; Watanabe, Yoshihisa; Onoe, Masahide; Takatsuji, Kenji
PA Hokko Chemical Industry Co., Ltd., Japan
SO PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010984	A1	20000302	WO 1999-JP4457	19990819
	W: AU, BR, CA, CN, CZ, HU, KR, MX, PL, RU, US				
	RW: BE, CH, DE, DK, ES, FR, GB, GR, IT				
	JP 2000063379	A	20000229	JP 1998-247738	19980819
	JP 3732014	B2	20060105		
	JP 2000072755	A	20000307	JP 1998-254553	19980825
	JP 3837241	B2	20061025		
	JP 2000072756	A	20000307	JP 1998-254589	19980825
	JP 3837242	B2	20061025		
	AU 9953017	A1	20000314	AU 1999-53017	19990819
PRAI	JP 1998-247738	A	19980819		
	JP 1998-254553	A	19980825		
	JP 1998-254589	A	19980825		
	WO 1999-JP4457	W	19990819		

OS MARPAT 132:180582
GI

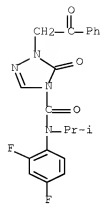


I

AB Title compds. I [R1 is lower alkyl, lower alkenyl, lower alkynyl or lower cycloalkyl; R2 is lower alkyl, lower cycloalkyl or substituted phenyl; and R3 is lower cycloalkyl, lower alkenyl, C1-C8 alkyl, a five or six-membered heterocyclic group] are prepared and tested as herbicides. The title compound I (R1 = (CH3)2CH; R2 = 2,4-F2C6H3; R3 = CH3CH2CH2CH(CH3)) was prepared and formulated as granules with 1% I.

IT 259270-90-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and herbicide compns. of triazolinone derivs.)

RN 259270-90-1 CAPLUS
CN 4H-1,2,4-Triazole-4-carboxamide, N-(2,4-difluorophenyl)-1,5-dihydro-N-(1-methylethyl)-5-oxo-1-(2-oxo-2-phenylethyl)- (CA INDEX NAME)



√

√L10 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:684268 CAPLUS Full-text

DN 131:286524

TI Triazolones with neuroprotective effect

IN Brenner, Michael; Wienrich, Marion; Weiser, Thomas; Bechtel, Wolf-Dietrich; Palluk, Rainer

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 24 pp.
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19816882	A1	19991021	DE 1998-19816882	19980417
	CA 2327784	A1	19991028	CA 1999-2327784	19990414
	CA 2327784	C	20080318		
	WO 9954315	A2	19991028	WO 1999-EP2498	19990414
	WO 9954315	A3	19991229		
	W: CA, JP, MX, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1071671	A2	20010131	EP 1999-915760	19990414
	EP 1071671	B1	20050803		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002512236	T	20020423	JP 2000-544654	19990414
	AT 301112	T	20050815	AT 1999-915760	19990414
	MX 2000PA09313	A	20010507	MX 2000-PA9313	20000922
	US 20020045651	A1	20020418	US 2001-840281	20010423
	US 6492407	B2	20021210		
PRAI	DE 1998-19816882	A	19980417		
	US 1999-291493	B1	19990414		
	WO 1999-EP2498	W	19990414		

OS MARPAT 131:286524

GI

I

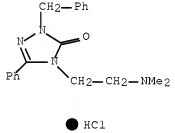
AB Triazolones I [R1 = (un)substituted aryl, aralkyl, alkyl; R2 = (un)substituted aryl, aralkyl, alkyl, heterocyclic; R3 = H, (un)substituted alkyl, alkenyl, alkynyl] were prepared by treating R2CO2H with urea, cyclization of R2CONHCONH2 with R1NHNH2, and alkylation. I are effective as AMPA receptor antagonists. Thus, I [R1 = 2-ClC6H4, R2 = Ph, R3 = H] caused 97% inhibition of kainate-induced signals at the AMPA receptor.

IT 246848-85-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolones with AMPA receptor antagonist activity)

RN 246848-85-1 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-5-phenyl-2-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

√

√L10 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:608607 CAPLUS Full-text

DN 129:202947

OREF 129:41227a,41230a

TI Preparation of 1-substituted 4-carbamoyl-1,2,4-triazol-5-one derivatives as herbicides

IN Morita, Ken; Kido, Tsunehiro; Hirayama, Kazuo; Okita, Hiroyuki; Ohno, Toshiharu; Watanabe, Yoshihisa; Onoe, Masahide

PA Hokko Chemical Industry Co., Ltd., Japan

SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9838176	A1	19980903	WO 1998-JP803	19980226
	W: AU, BR, CA, CN, CZ, HU, JP, KR, PL, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

	AU 9861174	A	19980918	AU 1998-61174	19980226
	EP 974587	A1	20000126	EP 1998-905678	19980226
	EP 974587	B1	20080423		
	R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL				
	BR 9808617	A	20000516	BR 1998-8617	19980226
	JP 3728324	B2	20051221	JP 1998-537516	19980226
	US 6077814	A	20000620	US 1999-367822	19990823
PRAI	JP 1997-42743	A	19970226		
	WO 1998-JP803	W	19980226		

OS MARPAT 129:202947

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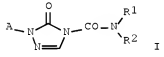


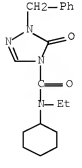
I

AB The title compds. (I; A is optionally substituted Ph, 1-naphthyl, 5,6,7,8-tetrahydronaphthyl, an aralkyl such as optionally substituted benzyl, etc.; R1 is a lower alkyl, alkenyl, etc.; R2 is alkyl, optionally substituted Ph, etc.) are prepared I exhibit scarcely any phytotoxicity on various crops and are useful as selective herbicides. Thus, 1-(2-chlorophenyl)-1,2,4-triazol-5-one was reacted with N-isopropyl-N-2,4-difluorophenylcarbomyl chloride in the presence of K2CO3 at 80° for 1 h in MeCN to give 80% I (R1 = iso-Pr, R2 = 2,4-difluorophenyl, A = 2-chlorophenyl) (II). II at 15 g/10 area showed 100% herbicidal activity for Echinochloa crus-galli.

IT 212202-56-7P 212202-57-8P 212202-58-9P
212202-59-0P 212202-60-3P 212202-61-4P
212202-62-5P 212202-63-6P 212202-64-7P
212202-65-8P 212202-66-9P 212202-67-0P
212202-68-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-substituted 4-carbamoyl-1,2,4-triazol-5-one derivs. as herbicides)

RN 212202-56-7 CAPLUS

CN 4H-1,2,4-Triazole-4-carboxamide, N-cyclohexyl-N-ethyl-1,5-dihydro-5-oxo-1-(phenylmethyl)- (CA INDEX NAME)



√ (other similar cpds, n/a to genus 7-3-2008)

L10 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1998:394350 CAPLUS Full-text
DN 129:68032
OREF 129:14131a
TI Preparation of oxadiazole peptide analogs as serine protease inhibitors
IN Gyorkos, Albert; Spruce, Lyle W.
PA Cortech, Inc., USA; Gyorkos, Albert; Spruce, Lyle W.
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 18

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9824806	A2	19980611	WO 1997-US21636	19971205
	WO 9824806	A3	19981015		
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	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5801148	A	19980901	US 1996-771317	19961206
	US 5807829	A	19980915	US 1996-761190	19961206
	US 5861380	A	19990119	US 1996-760916	19961206
	US 5869455	A	19990209	US 1996-761313	19961206
	US 5891852	A	19990406	US 1996-762381	19961206
	US 5998379	A	19991207	US 1997-985056	19971204
	US 6001811	A	19991214	US 1997-984884	19971204
	US 6015791	A	20000118	US 1997-984881	19971204
	US 6150334	A	20001121	US 1997-985201	19971204
	CA 2272548	A1	19980611	CA 1997-2272548	19971205
	AU 9855894	A	19980629	AU 1998-55894	19971205
	AU 734615	B2	20010621		
	EP 954526	A2	19991110	EP 1997-952232	19971205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9713684	A	20000328	BR 1997-13684	19971205
	JP 2001507679	T	20010612	JP 1998-525656	19971205
	JP 3220169	B2	20011022		
	HU 2001000669	A2	20010828	HU 2001-669	19971205
	HU 2001000669	A3	20011228		
	RU 2217436	C2	20031127	RU 1999-114606	19971205
	NO 9902734	A	19990802	NO 1999-2734	19990604
	MX 9905240	A	20000531	MX 1999-5240	19990604
	US 20030060418	A1	20030327	US 2001-928117	20010810
	US 6656910	B2	20031202		
PRAI	US 1996-760916	A	19961206		
	US 1996-761190	A	19961206		
	US 1996-761313	A	19961206		
	US 1996-762381	A	19961206		
	US 1996-771317	A	19961206		
	US 1997-984881	A	19971204		
	US 1997-984884	A	19971204		

	US 1997-985056	A	19971204
	US 1997-985201	A	19971204
	US 1997-985298	A	19971204
	US 1994-345820	A2	19941121
	WO 1997-US21636	W	19971205

OS MARPAT 129:68032

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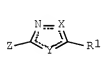

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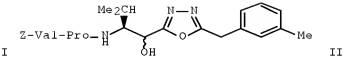

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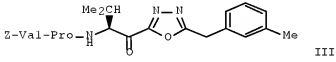


III

AB The present invention relates to certain substituted oxadiazole, thiadiazole and triazole peptide analogs I [X, Y = independently O, S, (un)substituted N; Z = serine protease binding moiety, preferably a human neutrophil elastase binding moiety; R1 = (un)substituted alkyl, alkenyl, alkynyl; OH, amino, alkylamino, dialkylamino, cycloalkyl, alkylcycloalkyl, alkenylcycloalkyl, cycloalkenyl, alkylcycloalkenyl, alkenylcycloalkenyl, C5-12 aryl, C5-12 arylalkyl, C5-12 arylalkenyl, fused C5-12 arylcycloalkyl, alkyl fused C5-12 arylcycloalkyl] which are useful as inhibitors of serine proteases. Thus, Swern oxidation of reduced pseudopeptide II (Z = PhCH2O2C), prepared in 8 steps from 3S-(benzyloxycarbonylamino)-2-acetoxy-4-methylpentanenitrile, 3-methylphenylacetic hydrazide, and Z-Val-Pro-OH, gave 74% desired oxadiazole III. III inhibited human neutrophil elastase with IC50 = 0.025 nM in an in vitro assay.

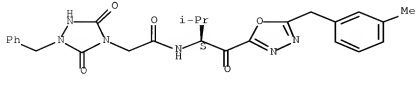
IT 208846-11-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxadiazole peptide analogs as serine protease and human neutrophil elastase inhibitors)

RN 208846-11-1 CAPLUS

CN 1,2,4-Triazolidine-4-acetamide, N-[(1S)-2-methyl-1-[[5-[(3-methylphenyl)methyl]-1,3,4-oxadiazol-2-yl]carbonyl]propyl]-3,5-dioxo-1-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



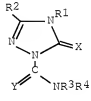
√L10 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1997:124917 CAPLUS Full-text
DN 126:212152
OREF 126:41031a,41034a
TI Preparation of 1-acyl-1,2,4-triazolin-5-ones as herbicides
IN Muller, Klaus-helmut; Babczinski, Peter; Santel, Hans-joachim; Schmidt, Robert R.; Findeisen, Kurt; Lindig, Markus; Lurssen, Klaus; Strang, Harry
PA Bayer A.-G., Germany
SO U.S., 60 pp., Cont.-in-part of U.S. 5,523,409.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5599944	A	19970204	US 1994-295446	19940824
	DE 3709574	A1	19881006	DE 1987-3709574	19870324
	US 5061311	A	19911029	US 1988-168823	19880316
	DE 3934081	A1	19910418	DE 1989-3934081	19891012
	DE 3936622	A1	19910508	DE 1989-3936622	19891103
	DE 3936623	A1	19910508	DE 1989-3936623	19891103
	CA 2420329	A1	19910413	CA 1990-2420329	19901010
	CA 2420329	C	20051129		
	CA 2189698	C	20030506	CA 1990-2189698	19901010
	CA 2302058	C	20040525	CA 1990-2302058	19901010
	US 5085684	A	19920204	US 1990-596845	19901012
	US 5166356	A	19921124	US 1991-741702	19910806
	US 5149356	A	19920922	US 1991-777824	19911015
	US 5238910	A	19930824	US 1992-859216	19920327
	US 5262389	A	19931116	US 1992-868065	19920413
	US 5276162	A	19940104	US 1992-870867	19920420
	US 5380864	A	19950110	US 1993-60075	19930510
	US 5523409	A	19960604	US 1993-125975	19930923
	US 5380863	A	19950110	US 1993-136429	19931013
	US 5532378	A	19960702	US 1994-356933	19941215
	US 5625074	A	19970429	US 1996-632984	19960416
	US 5750718	A	19980512	US 1996-729126	19961011
PRAI	DE 1987-3709574	A	19870324		
	US 1988-168823	A3	19880316		
	DE 1989-3934081	A	19891012		
	DE 1989-3936622	A	19891103		
	DE 1989-3936623	A	19891103		
	US 1990-596845	A3	19901012		
	US 1991-741702	A3	19910806		
	US 1991-777824	A3	19911015		
	US 1992-868065	A3	19920413		
	US 1992-870867	A3	19920420		
	US 1993-125975	A2	19930923		
	US 1993-136429	A2	19931013		
	DE 1988-3815765	A	19880509		
	US 1989-337775	B2	19890413		
	US 1990-556052	A2	19900720		
	US 1990-580900	A2	19900911		
	CA 1990-2027206	A3	19901010		
	CA 1990-2189698	A3	19901010		

	CA 1990-2302058	A3	19901010
	US 1991-692439	A3	19910429
	US 1991-816365	A3	19911230
	US 1992-859216	A3	19920327
	US 1993-31426	A3	19930315
	US 1994-295446	A3	19940824
	US 1994-356933	A3	19941215

OS CASREACT 126:212152; MARPAT 126:212152

GI



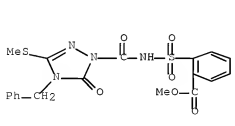
I

AB Title compds. [e.g., I; R1 = H, (halo)alk(en)yl, alkoxy, etc.; R2 = NR5R6 or SOnR7; R3,R4 = H, (halo)alk(en)yl, alkoxy, aryl, etc.; R5,R6 = (halo)alk(en)yl, alkoxy, aryl, etc.; R7 = (cyclo)alkyl, aryl(alkyl), etc.; X,Y = O or S; n = 0-2] were prepared as herbicides (no data). Thus, MeNHCONMe2 was treated with COCl2 and the product condensed with H2NNH2 to give MeN:C(NMe2)NHNH2 which was cyclocondensed with COCl2 to give, in 2 addnl. steps, I (R1 = Me, R2 = NMe2, R3 = H, R4 = allyl, X = Y = O).

IT 135838-22-1P 187933-45-5P 187933-63-7P
187933-86-4P 187933-88-6P 187933-89-7P
187933-90-0P 187933-91-1P 187933-92-2P
187933-93-3P 187933-94-4P 187933-95-5P
187934-22-1P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-acyl-1,2,4-triazolin-5-ones as herbicides)

RN 135838-22-1 CAPLUS

CN Benzoic acid, 2-[[[[4,5-dihydro-3-(methylthio)-5-oxo-4-(phenylmethyl)-1H-1,2,4-triazol-1-yl]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



√ (other cpds n/a to genus)

√L10 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1996:476629 CAPLUS Full-text

DN 125:142735
OREF 125:26721a,26724a
TI Preparation of 1,2,4-triazole derivatives and their use as tachykinin antagonists
IN Ladduwahetty, Tamara; Macleod, Angus Murray
PA Merck Sharp and Dohme Limited, UK
SO Brit. UK Pat. Appl., 51 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 2293169	A	19960320	GB 1995-18115	19950906
US 5710161	A	19980120	US 1995-527280	19950912
PRAI GB 1994-18545	A	19940915		

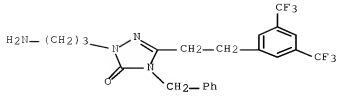
OS MARPAT 125:142735
GI

I

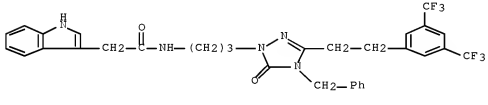
AB I [Ar1 = Ph optionally substituted by 1, 2 or 3 groups selected from C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-7 cycloalkyl, halo, cyano, nitro, trifluoromethyl, trimethylsilyl, ORa, SRa, SORa, SO2Ra, NRaRb, NRaCORb, NRaCO2Rb, CORa, CO2Ra, CONRaRb (Ra and Rb each independently represent H, C1-6 alkyl, Ph, trifluoromethyl); Ar2 = Ph, naphthyl, indolyl, indazolyl, thienyl, furyl, pyridyl, thiazolyl, triazolyl, tetrazolyl, quinolyl, benzhydryl, benzyl (each aryl and heteroaryl and each Ph moiety of benzyl and benzhydryl may be substituted); R1 = H, ZR2 (R2 = H, CO2R7, CONR7R8, NR7R8, NR7COR9, NR7SO2R8 (R7 and R8 each independently represent H, C1-6 alkyl, trifluoromethyl, Ph, benzyl; R9 represents H, C1-6 alkyl, trifluoromethyl, Ph, benzyl, C1-4 alkyl substituted by an optionally substituted heteroaryl group as previously defined), trifluoromethyl, heteroaryl, -O-heteroaryl (each of the heteroaryl groups are as previously defined and may be optionally substituted by C1-6 alkyl, C1-6 alkoxy, oxo, CO2C1-4alkyl, cyano, halo, trifluoromethyl), heterocyclyl); Q = O, S; X = CR3R4CR5R6, CR3:CR4, C(OH)R3CR4R5, CR3R4C(OH)R5, COR3R4, CR3CR4CO (R3, R4, R5, R6 = H, C1-4 alkyl); Y = C1-4 alkylene chain; Z = C1-6 alkylene or C3-6 alkenylene chain] were prepared as tachykinin antagonists (no data). E.g., reaction of 3,5-bis(trifluoromethyl)phenethyl hydrazide and PhCH2NCO in MeOH/CH2Cl2 gave 80% 3-[3,5-bis(trifluoromethyl)phenethyl]-4-benzyl-1H- 5-oxo-1,2,4-triazole.

IT 179615-97-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2,4-triazole derivs. and their use as tachykinin antagonists)

RN 179615-97-5 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 2-(3-aminopropyl)-5-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2,4-dihydro-4-(phenylmethyl)- (CA INDEX NAME)



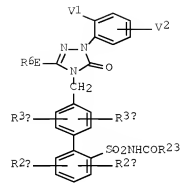
IT 179615-75-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 1,2,4-triazole derivs. and their use as tachykinin antagonists)
RN 179615-75-9 CAPLUS
CN 1H-Indole-3-acetamide, N-[3-[3-[2-[3,5-bis(trifluoromethyl)phenyl]ethyl]-4,5-dihydro-5-oxo-4-(phenylmethyl)-1H-1,2,4-triazol-1-yl]propyl]- (CA INDEX NAME)



L10 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:607987 CAPLUS Full-text
DN 123:286034
OREF 123:51259a,51262a
TI Substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists
IN Ashton, Wallace T.; Chang, Linda L.; MacCoss, Malcolm; Chakravarty, Prasun K.; Greenlee, William J.; Patchett, Arthur A.; Flanagan, Kelly
PA Merck and Co., Inc., USA
SO U.S., 90 pp. Cont.-in-part of U.S. Ser. No. 899,868, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5411980	A	19950502	US 1992-994228	19921221
	ZA 9204916	A	19930331	ZA 1992-4916	19920702
PRAI	US 1989-386328	B2	19890728		
	US 1990-504507	B2	19900404		
	US 1991-725720	B2	19910703		
	US 1991-812891	B2	19911220		
	US 1992-899868	B2	19921217		

OS MARPAT 123:286034
GI



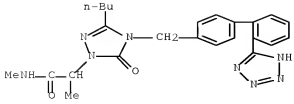
I

AB There are disclosed new substituted triazolinone compds. I [R2a = H, halo; R2b = H, halo, C1-4-alkyl; R3a = H, halo; R3b = H, halo, C1-4-alkyl; E is a single bond; R6 = (un)substituted C1-6-alkyl; R23 = e.g., (un)substituted Ph, branched C3-7-alkyl, C3-7-cycloalkyl; V1 = H, Me, CF3, halogen, with the proviso that V1 = CF3 when V2 = H; V2 = e.g., H, NO2, NR10R21; R10 = H, C1-4-alkyl; R21 = H or R22; R22 = e.g., C1-6-alkyl, C3-7-cycloalkyl; aryl] which are useful as angiotensin II antagonists. Thus, e.g., reaction of 4-bromomethyl-2'-(t-butoxycarbonyl)biphenyl with K phthalimide afforded 82% N-[[2'-(t-butoxycarbonyl)biphenyl-4- yl]methyl]phthalimide; hydrazinolysis afforded 88% 4-aminomethyl-2'-(t- butoxycarbonyl)biphenyl; reaction with CS2/MeI afforded 84% Me N-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]dithiocarbamate; reaction of the latter with hydrazine afforded 79% 4-[[2'-(t-butoxycarbonyl)biphenyl-4- yl]methyl]-3-thiosemicarbazide; heterocyclization with tri-Me orthovalerate afforded 63% 4-[[2'-(t-butoxycarbonyl)biphenyl-4-yl]methyl]- 5-butyl-2,4-dihydro-3H-1,2,4-triazole-3-thione; removal of the t-Bu group with trifluoroacetic acid afforded the corresponding 2'-carboxy derivative (21%). Representative compds. of the invention act as angiotensin II receptor antagonists with activity of at least IC50 < 50 μM. Pharmaceutical formulations were given.

IT 150312-69-9P 150312-79-1P 150312-80-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted triazolinones, triazolinethiones, and triazolinimines as angiotensin II antagonists)

RN 150312-69-9 CAPLUS

CN 1H-1,2,4-Triazole-1-acetamide, 3-butyl-4,5-dihydro-N,α-dimethyl-5-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



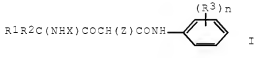
√ (other cpds n/a genus)

√L10 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1994:667626 CAPLUS Full-text
DN 121:267626
OREF 121:48641a,48644a
TI silver halide color photographic material
IN Nakamura, Takeshi; Asatake, Atsushi
PA Konishiroku Photo Ind, Japan
SO Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06035142	A	19940210	JP 1992-185275	19920713
	JP 3103993	B2	20001030		
PRAI	JP 1992-185275		19920713		

OS MARPAT 121:267626
GI



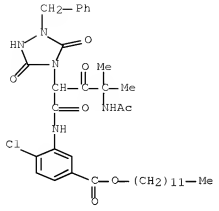
I

AB A silver halide color photog. material for providing color images with high color d., low fog, and improved lightfastness comprises a 2-equivalent yellow coupler represented by the formula I (R1, R2 = H, alkyl, cycloalkyl, or aryl; R3 = a group suited for substitution on benzene ring; n = an integer of 0-4; X = an electron-attracting group; Z = a group separating from the coupler upon reaction with an oxidized photog. developing agent) in the blue-sensitive silver halide photog. emulsion layer.

IT 158741-54-9
RL: USES (Uses)
(yellow photog. coupler)

RN 158741-54-9 CAPLUS

CN Benzoic acid, 3-[[4-(acetylamino)-2-[3,5-dioxo-1-(phenylmethyl)-1,2,4-triazolidin-4-yl]-4-methyl-1,3-dioxopentyl]amino]-4-chloro-, dodecyl ester (CA INDEX NAME)



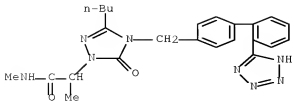
√ **(other cpds n/a genus)**

√L10 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1993:595681 CAPLUS Full-text
DN 119:195681
OREF 119:34665a,34668a
TI Substituted triazolinones, triazolinethiones, and triazolinimines as neurotensin antagonists
IN Chakravarty, Prasun K.; Ransom, Richard W.
PA Merck and Co., Inc., USA
SO Brit. UK Pat. Appl., 79 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 2263636	A	19930804	GB 1993-938	19930119
US 5250558	A	19931005	US 1992-826704	19920128
PRAI US 1992-826704	A	19920128		

OS MARPAT 119:195681
AB Neurotensin-antagonizing substituted triazolinones, triazolinethiones, and triazolinimines are used for treating gastrointestinal or CNS disorders. Twenty-eight compds. are depicted as representatives for these purposes. These compds. can be screened by neurotensin-binding assay using rat forebrain receptors or human frontal cortex or human HT-29 cell membranes.
IT 150312-69-9 150312-79-1 150312-80-4
RL: BIOL (Biological study)
(neurotensin-antagonizing, for treating gastrointestinal or CNS disorders)
RN 150312-69-9 CAPLUS
CN 1H-1,2,4-Triazole-1-acetamide, 3-butyl-4,5-dihydro-N,α-dimethyl-5-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



√

√L10 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1993:580715 CAPLUS Full-text

DN 119:180715

OREF 119:32307a,32310a

TI Triazolinones as nonpeptide angiotensin II antagonists. 1. Synthesis and evaluation of potent 2,4,5-trisubstituted triazolinones

AU Chang, Linda L.; Ashton, Wallace T.; Flanagan, Kelly L.; Strelitz, Robert A.; MacCoss, Malcolm; Greenlee, William J.; Chang, Raymond S. L.; Lotti, Victor J.; Faust, Kristie A.; et al.

CS Merck Res. Lab., Rahway, NJ, 07065, USA

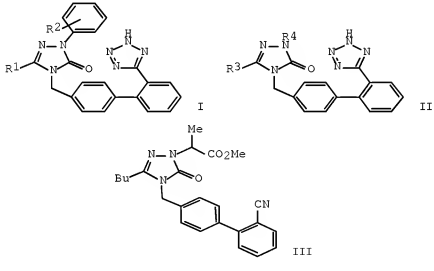
SO Journal of Medicinal Chemistry (1993), 36(17), 2558-68
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 119:180715

GI



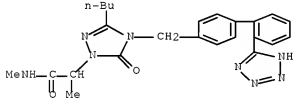
AB A series of 2,4-dihydro-2,4,5-trisubstituted 3H-1,2,4-triazol-3-ones, I (R1 = n-Bu, cyclopropylmethyl, n-pentyl, n-Pr, etc., R2 = H, 2-Me, 4-Cl, 4-CO2Me, 2-NH2, 2-Ph, etc.) and II (R3 = n-Bu, cyclopropyl, cyclopropylmethyl, R4 = 2-

pyridyl, Et, CH2Ph, CH2C6F5, α-naphthylmethyl, etc.), was prepared via several synthetic routes and evaluated as AII receptor antagonists in vitro and in vivo. Thus, [(cyanobiphenyl)methyl]triazolone III reacted with H2NMe/MeOH and Me3SnN3/toluene to give II (R3 = n-Bu, R4 = CHMeCONHMe). The preferred compds. contained a [2'-(5-tetrazolyl)biphenyl-4-yl]methyl side chain at N4 and an Bu group at C5. A number of these bearing an alkyl or aralkyl substituent at N2 showed in vitro potency in the nanomolar range (rabbit aorta membrane receptor), and several of these, e.g., the 2,2-dimethyl-1-Pr analog II (R3 = n-Bu, R4 = CH2CMe3), IC50 = 2.1 nM), effectively blocked the AII pressor response in conscious rats with significant duration (2.5 h at 1 mg/kg orally). Among analogs possessing aryl substituents at N2, ortho substitution on the Ph moiety resulted in several derivs. with in vitro potency in the low nanomolar range. One of these, featuring a 2-(trifluoromethyl)phenyl substituent at N2 (IC50 = 1.2 nM), was effective at 1 mg/kg orally in the rat model, with a duration of >6 h. Implications for hydrophobic and hydrogen-bonding interactions with the AT1 receptor are discussed.

IT 150312-69-9P 150312-79-1P 150312-80-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin II antagonist activity of)

RN 150312-69-9 CAPLUS

CN 1H-1,2,4-Triazole-1-acetamide, 3-butyl-4,5-dihydro-N,α-dimethyl-5-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



√

√L10 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1993:244492 CAPLUS Full-text

DN 118:244492

OREF 118:42181a,42184a

TI Silver halide color photographic light-sensitive material

IN Hirabayashi, Shigeto; Kagawa, Nobuaki; Usagawa, Yasushi; Kawashima, Yasuhiko

PA Konica Corp., Japan

SO Eur. Pat. Appl., 122 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 521668	A1	19930107	EP 1992-305932	19920626
	R: DE, FR, GB, NL				
	JP 05011399	A	19930122	JP 1991-189488	19910704
	US 5290669	A	19940301	US 1992-907135	19920629
PRAI	JP 1991-189488	A	19910704		

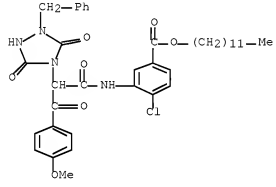
OS MARPAT 118:244492

AB The title multilayer color material comprises in ≥1 photog. component layer a Ag salt of a dye. The blue-sensitive layer contains a benzoylacetoanilido-type yellow coupler and the red-sensitive layer contains a naphthoic-type cyan coupler. The material has properties of high sharpness, high speed, less fogging, and excellent raw stock stability.

IT 130180-39-1
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

RN 130180-39-1 CAPLUS

CN Benzoic acid, 4-chloro-3-[[2-[3,5-dioxo-1-(phenylmethyl)-1,2,4-triazolidin-4-yl]-3-(4-methoxyphenyl)-1,3-dioxopropyl]amino]-, dodecyl ester (CA INDEX NAME)



√

√L10 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1991:536103 CAPLUS Full-text

DN 115:136103

OREF 115:23343a,23346a

TI Herbicidal 2-[(sulfonylamino)carbonyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones with substituents linked by sulfur at position 5

IN Mueller, Klaus Helmut; Baczinski, Peter; Santel, Hans Joachim; Schmidt, Robert R.

PA Bayer A.-G., Germany

SO Ger. Offen., 32 pp.
CODEN: GWXXBX

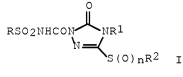
DT Patent

LA German

FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3936623	A1	19910508	DE 1989-3936623	19891103
	AU 9063601	A	19910509	AU 1990-63601	19900927
	AU 623037	B2	19920430		
	US 5085684	A	19920204	US 1990-596845	19901012
	EP 431291	A2	19910612	EP 1990-120153	19901020
	EP 431291	A3	19911009		
	EP 431291	B1	19980729		
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	ES 2118711	T3	19981001	ES 1990-120153	19901020
	JP 03153675	A	19910701	JP 1990-288605	19901029

	CA 2029132	A1	19910504	CA 1990-2029132	19901101
	CA 2029132	C	20010925		
	BR 9005572	A	19910917	BR 1990-5572	19901101
	ZA 9008798	A	19910828	ZA 1990-8798	19901102
	US 5149356	A	19920922	US 1991-777824	19911015
	US 5276162	A	19940104	US 1992-870867	19920420
	US 5380863	A	19950110	US 1993-136429	19931013
	US 5599944	A	19970204	US 1994-295446	19940824
	US 5750718	A	19980512	US 1996-729126	19961011
PRAI	DE 1987-3709574	A	19870324		
	US 1988-168823	A3	19880316		
	DE 1988-3815765	A	19880509		
	US 1989-337775	B2	19890413		
	DE 1989-3934081	A	19891012		
	DE 1989-3936622	A	19891103		
	DE 1989-3936623	A	19891103		
	US 1990-556052	A2	19900720		
	US 1990-580900	A2	19900911		
	US 1990-596845	A3	19901012		
	US 1991-741702	A3	19910806		
	US 1991-777824	A3	19911015		
	US 1992-868065	A3	19920413		
	US 1992-870867	A3	19920420		
	US 1993-125975	A2	19930923		
	US 1993-136429	A2	19931013		
	US 1994-295446	A3	19940824		
OS	MARPAT 115:136103				
GI					



I

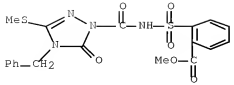
AB Title compds. I (R = alkyl, aryl, aralkyl, heteroaryl; R1 = H, OH, NH2, alkyl, alkenyl, alkynyl, aryl, etc.; R2 = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, etc.; n = 0, 1, 2) were prepared in several ways. Thus, 2,4-dihydro-4-methyl-5-(methylthio)-3H-1,2,4-triazol-3-one was stirred with 2-MeO2CC6H4SO2NCO in MeCN for 6 h at 20° to give 75% I (R = 2-MeO2CC6H4, R1 = R2 = Me, n = 0). This compound exhibited superior herbicidal activity in pre- and post-emergence tests.

IT 135838-22-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 135838-22-1 CAPLUS

CN Benzoic acid, 2-[[[[4,5-dihydro-3-(methylthio)-5-oxo-4-(phenylmethyl)-1H-1,2,4-triazol-1-yl]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



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√L10 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1991:471618 CAPLUS Full-text

DN 115:71618

OREF 115:12387a,12390a

TI Preparation of sulfonylaminocarbonyltriazolinones as herbicides

IN Mueller, Klaus Helmut; Babczinski, Peter; Santel, Hans Joachim; Schmidt, Robert R.

PA Bayer A.-G., Germany

SO Eur. Pat. Appl., 70 pp.
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 422469	A2	19910417	EP 1990-118750	19900929
	EP 422469	A3	19920108		
	EP 422469	B1	19960508		
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	DE 3934081	A1	19910418	DE 1989-3934081	19891012
	EP 683157	A1	19951122	EP 1995-111736	19900929
	EP 683157	B1	20040526		
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	ES 2087107	T3	19960716	ES 1990-118750	19900929
	ES 2222457	T3	20050201	ES 1995-111736	19900929
	JP 03133966	A	19910607	JP 1990-269742	19901009
	CA 2027206	A1	19910413	CA 1990-2027206	19901010
	CA 2027206	C	19971223		
	CA 2420329	A1	19910413	CA 1990-2420329	19901010
	CA 2420329	C	20051129		
	PL 165494	B1	19941230	PL 1990-287259	19901010
	CA 2189698	C	20030506	CA 1990-2189698	19901010
	CA 2302058	C	20040525	CA 1990-2302058	19901010
	AU 9064591	A	19910418	AU 1990-64591	19901011
	AU 627080	B2	19920813		
	HU 55369	A2	19910528	HU 1990-6419	19901011
	HU 218976	B	20010129		
	BR 9005095	A	19910917	BR 1990-5095	19901011
	CZ 281525	B6	19961016	CZ 1990-4950	19901011
	KR 171400	B1	19990201	KR 1990-16081	19901011
	SK 280209	B6	19990910	SK 1990-4950	19901011
	US 5532378	A	19960702	US 1994-356933	19941215
	US 5625074	A	19970429	US 1996-632984	19960416
PRAI	DE 1989-3934081	A	19891012		
	DE 1988-3815765	A	19880509		
	US 1989-337775	B2	19890413		
	US 1990-556052	A3	19900720		

	EP 1990-118750	A3	19900929
	CA 1990-2027206	A3	19901010
	CA 1990-2189698	A3	19901010
	CA 1990-2302058	A3	19901010
	US 1991-692439	A3	19910429
	US 1991-816365	A3	19911230
	US 1993-31426	A3	19930315
	US 1994-356933	A3	19941215

OS MARPAT 115:71618

GI

I

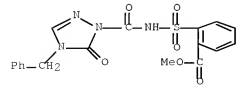
AB The title compds. [I; R1 = H, HO, amino, (un)substituted (cyclo)alkyl, (di)alkylamino, alkenyl(oxy), alkynyl, alkoxy, aryl(alkyl); R2 = H, HO, HS, amino, (un)substituted (cyclo)alkyl, aryl, (di)alkylamino, etc.; R = R3SO2NHCO; R3 = (un)substituted (cyclo)alkyl, cycloalkenyl, alkoxy, (di)alkylamino, aryl(alkyl), heteroaryl] (II) and their salts, were prepared as herbicides (no data), e.g., by amidation of triazolinones I; [R = COZ; Z = halo, (ar)alkoxy, aryloxy] with sulfonamides R3SO2NH2. Also claimed are I [R = H; R1 = (un)substituted (cyclo)alkyl, alkenyl, alkoxy, dialkylamino; R2 = H, (un)substituted (cyclo)alkyl, alkoxy, aralkyl; R1 ≠ R2 = H]. Thus, 1.8 g DBU were added to a stirred mixture of 3.0 g 5-ethyl-4-methyl-2-phenoxycarbonyl-2,4-dihydro-3H-1,2,4-triazol-2-one and 2.5 g 2-chloro-6-methylbenzenesulfonamide in 60 mL MeCN, and the whole stirred 2 h at 20° to give 3.2 g title compound (I; R = 2,6-ClMeC6H3SO2NHCO, R1 = Me, R2 = Et). Over 100 II were prepared in the systemic and protective activity against Pyricularia on rice of several I expressed in qual. terms.

IT 135280-06-7P 135280-17-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 135280-06-7 CAPLUS

CN Benzoic acid, 2-[[[[4,5-dihydro-5-oxo-4-(phenylmethyl)-1H-1,2,4-triazol-1-yl]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



√

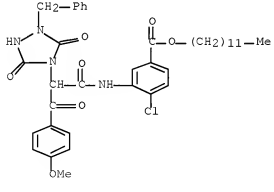
√L10 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:601281 CAPLUS Full-text
DN 113:201281
OREF 113:33857a,33860a
TI Silver halide color photographic materials containing pyrazoloazole magenta couplers and benzoylacetoanilide yellow couplers
IN Hirabayashi, Shigeto; Mizukura, Noboru
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02118638	A	19900502	JP 1988-273896	19881028
PRAI JP 1988-273896		19881028		

GI For diagram(s), see printed CA Issue.

AB The materials comprise supports and ≥1 blue-, green- and red-sensitive emulsion layers which ≥1 blue-sensitive layers contain benzoylacetanilide yellow couplers and ≥1 green-sensitive layers contain ≥1 pyrazoloazole couplers I (A = azole ring; R = H, group which is released by coupling reaction with oxidized developing agent; R1 = aryl groups or heterocycles containing ≥1 o-substituents; R2 = substituent bonded on C in pyrazoloazole). Thus, multilayer color neg. films containing benzoylacetanilide coupler II in higher and lower speed blue-sensitive emulsion layers, and magenta coupler III in lower and higher green-sensitive emulsion layers gave images with high sensitivity.

IT 130180-39-1
RL: USES (Uses)
(yellow coupler, silver halide photog. materials containing pyrazoloazole magenta couplers and)
RN 130180-39-1 CAPLUS
CN Benzoic acid, 4-chloro-3-[[2-[3,5-dioxo-1-(phenylmethyl)-1,2,4-triazolidin-4-yl]-3-(4-methoxyphenyl)-1,3-dioxopropyl]amino]-, dodecyl ester (CA INDEX NAME)



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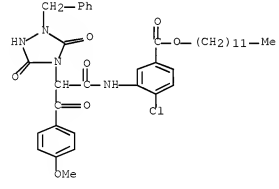
√L10 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:601280 CAPLUS Full-text

DN 113:201280
OREF 113:33857a,33860a
TI Silver halide color photographic materials containing pyrazoloazole magenta couplers and benzoylacetoanilide yellow couplers
IN Hirabayashi, Shigeto; Mizukura, Noboru
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02118569	A	19900502	JP 1988-274044	19881027
PRAI JP 1988-274044		19881027		

GI For diagram(s), see printed CA Issue.
AB The materials comprise supports and ≥1 blue-, green- and red-sensitive emulsion layers which ≥1 blue-sensitive layers contain benzoylacetanilide yellow couplers and ≥1 green-sensitive layers contain ≥1 pyrazoloazole couplers I (A = azole ring; R = H, group which is released by coupling reaction with oxidized developing agent; R1, R2 = substituent with ≥1 ether linkage and ≥1 acid residue). Thus, multilayer color neg. films containing benzoylacetanilide coupler II in higher and lower speed blue-sensitive emulsion layers, and magenta coupler III in lower and higher green-sensitive emulsion layers gave images with high sensitivity.
IT 130180-39-1D, derivs.
RL: USES (Uses)
(yellow coupler, silver halide photog. materials containing pyrazoloazole magenta couplers and)
RN 130180-39-1 CAPLUS
CN Benzoic acid, 4-chloro-3-[[2-[3,5-dioxo-1-(phenylmethyl)-1,2,4-triazolidin-4-yl]-3-(4-methoxyphenyl)-1,3-dioxopropyl]amino]-, dodecyl ester (CA INDEX NAME)



√

√L10 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1990:216935 CAPLUS Full-text
DN 112:216935
OREF 112:36629a,36632a
TI Preparation of herbicidal and fungicidal (sulfonylcarbamoyl)triazolinones

IN Daum, Werner; Mueller, Klaus Helmut; Schwamborn, Michael; Babczinski, Peter; Santel, Hans Joachim; Schmidt, Robert R.; Strang, Harry

PA Bayer A.-G., Fed. Rep. Ger.

SO Eur. Pat. Appl., 135 pp.
CODEN: EPXXDW

DT Patent

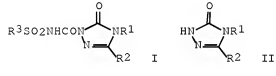
LA German

FAN.CNT 12

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 341489	A1	19891115	EP 1989-107529	19890426
	EP 341489	B1	19950823		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	DE 3815765	A1	19891123	DE 1988-3815765	19880509
	KR 9711282	B1	19970709	KR 1989-5994	19890504
	BR 8902132	A	19900102	BR 1989-2132	19890508
	JP 02011579	A	19900116	JP 1989-114332	19890509
	JP 2744064	B2	19980428		
	US 5532378	A	19960702	US 1994-356933	19941215
	US 5625074	A	19970429	US 1996-632984	19960416
PRAI	DE 1988-3815765	A	19880509		
	US 1989-337775	B2	19890413		
	DE 1989-3934081	A	19891012		
	US 1990-556052	A3	19900720		
	US 1991-692439	A3	19910429		
	US 1991-816365	A3	19911230		
	US 1993-31426	A3	19930315		
	US 1994-356933	A3	19941215		

OS MARPAT 112:216935

GI

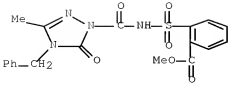


AB The title compds. [I; R1 = H, OH, NH2, etc.; R2 = H, OH, HS, amino, etc.; or R2R3 = alkylene; R3 = alkyl, aralkyl, aryl, heteroaryl] and their salts are prepared via acylation of triazolinones II with R3SO2NCO. II [R1 = Me, R2 = Et] was treated with 2-MeO2CC6H4SO2NCO in CH2Cl2 to give I [R1 = Me, R2 = Et, R3 = 2-MeO2CC6H4]. Preemergent, the herbicidal activity of I [R1R2 = (CH2)5, R3 = 2-MeO2CC6H4] (applied in an emulsion in acetone) was higher than that of the known herbicide 1-(isobutylcarbamoyl)-2- imidazolidinone.

IT 127083-04-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide and fungicide)

RN 127083-04-9 CAPLUS

CN Benzoic acid, 2-[[[[4,5-dihydro-3-methyl-5-oxo-4-(phenylmethyl)-1H-1,2,4-triazol-1-yl]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



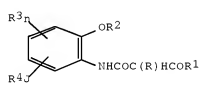
√

√L10 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

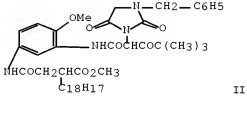
AN 1989:15846 CAPLUS Full-text
DN 110:15846
OREF 110:2627a,2630a
TI Silver halide light-sensitive photographic material containing a novel yellow coupler
IN Tsuruta, Mayumi; Mizukura, Noboru; Nakagawa, Satoshi
PA Konica Co., Japan
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 267491	A2	19880518	EP 1987-115774	19871027
	EP 267491	A3	19890503		
	EP 267491	B1	19940309		
	R: DE, FR, GB, IT, NL				
	JP 63123047	A	19880526	JP 1986-269216	19861112
	JP 07019042	B	19950306		
	US 4992360	A	19910212	US 1989-351267	19890511
PRAI	JP 1986-269216	A	19861112		
	US 1987-112779	B1	19871022		

GI



I



II

AB A color photog. material containing a 2-equivalent-type yellow coupler I [R = group capable of separation upon reaction with oxidation product of a developing agent; R1 = alkyl, cycloalkyl; R2 = R1, acyl, aryl; R3 = substituting group; n = 0 or 1; R4 = group containing carbonyl or sulfonyl group; J = B N(R5) CO, CON(R5); R5 = H, alkyl, aryl, heterocyclic] and the coupler are claimed. The coupler is excellent in color formability and produces little or no fog. Thus, photog. paper was prepared with an photog.

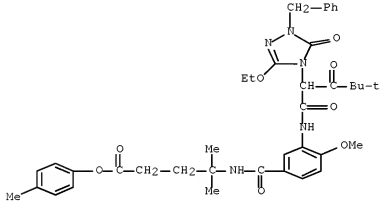
emulsion containing II. The paper produced an image with excellent colors and very little fog.

IT 118021-14-0

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler, 2-equivalent-type)

RN 118021-14-0 CAPLUS

CN Pentanoic acid, 4-[[3-[[2-[3-ethoxy-1,5-dihydro-5-oxo-1-(phenylmethyl)-4H-1,2,4-triazol-4-yl]-4,4-dimethyl-1,3-dioxopentyl]amino]-4-methoxybenzoyl]amino]-4-methyl-, 4-methylphenyl ester (CA INDEX NAME)



√

√L10 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1988:539046 CAPLUS Full-text

DN 109:139046

OREF 109:22975a,22978a

TI Silver halide photographic material containing yellow coupler

IN Tsuruta, Mayumi; Mizukura, Noboru; Nakagawa, Satoshi

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 63092951	A	19880423	JP 1986-238222	19861007
PRAI JP 1986-238222		19861007		

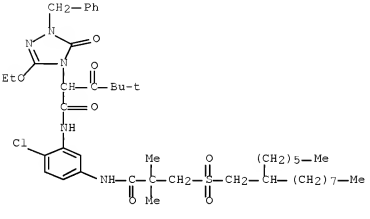
GI For diagram(s), see printed CA Issue.

AB In the title photog. material, ≥1 of photog. Ag halide emulsion layers contains a yellow coupler I [R1 = alkyl, cycloalkyl, aryl; R2 = group which can be substituted to the benzene ring; R3 = H, alkyl, aryl, heterocyclyl; X = alkylene, cycloalkylene, arylene, alkylene arylene, arylene alkylene, or -A-V-B- (A, B = alkylene, arylene, alkylenearylene, or arylmealkylene; V = divalent connecting group); Y = alkyl, cycloalkyl, aryl, heterocyclyl; Z = nonmetal atoms to form a 5- or 6-membered ring with -N(CO)n-; m = 0, 1; n = 0-2]. The photog. material shows improved color-forming d., reduced fog, and improved storage stability.

IT 116624-95-4

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

RN 116624-95-4 CAPLUS
CN 4H-1,2,4-Triazole-4-acetamide, N-[2-chloro-5-[[3-[(2-hexyldecyl)sulfonyl]-2,2-dimethyl-1-oxopropyl]amino]phenyl]-α-(2,2-dimethyl-1-oxopropyl)-3-ethoxy-1,5-dihydro-5-oxo-1-(phenylmethyl)- (CA INDEX NAME)



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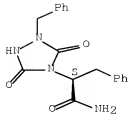
√L10 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1975:593683 CAPLUS Full-text
DN 83:193683
OREF 83:30489a,30492a
TI Polypeptides. XIII. Preparation of α-aza amino acid (carbazic acid) derivatives and intermediates for the preparation of α-aza peptides
AU Dutta, Anand S.; Morley, John S.
CS Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1975), (17), 1712-20
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
AB Me3CO2CNHNHCHRR1 (I; R = H, R1 = CHMe2, Ph, C6H4R2-p, R2 = OH, OCMe3, Cl, C6H3(OMe)2-2,4; R = Me, R1 = Et), prepared from Me3CO2CNHNH2 and aldehydes and MeCOEt followed by hydrogenation, with ClCO2Et and KCNO-HCl gave Me3CO2CNHN(CHRR1)CO2Et and Me3CO2CNHN(CHRR1)CONH2, resp. which on hydrolysis gave α-azaamino acid esters and amides, resp. I with α-isocyanato esters gave α-aza dipeptide derivs. E.g., I (R = H, R1 = Ph) with Me2CHCH2CH(NCO)CO2Me gave N-tert-butoxycarbonyl-α- azaphenylalanylleucine Me ester.
IT 57699-79-3P 57699-99-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57699-79-3 CAPLUS
CN 1,2,4-Triazolidine-4-acetamide, 3,5-dioxo-α,1-bis(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

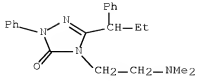


√

L10 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1972:113218 CAPLUS Full-text
DN 76:113218
OREF 76:18285a,18288a
TI Analgesic substituted Δ2-1,2,4-triazolin-5-one salts
IN Gold-Aubert, Philippe; Melkonian, Diran
SO Fr. Demande, 12 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

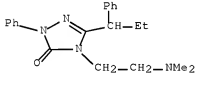
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2051506	A5	19710409	FR 1970-16215	19700504
	FR 2051506	B1	19740322		
	GB 1311651	A	19730328	GB 1969-23490	19690508
	BE 750040	A	19701106	BE 1970-750040	19700506
	NL 7006647	A	19701110	NL 1970-6647	19700506
	CH 525223	A	19720715	CH 1970-525223	19700506
PRAI	GB 1969-23490	A	19690508		

GI For diagram(s), see printed CA Issue.
AB Several salts (i.e., diethylacetate, salicylate, citrate, α-phenylbutyrate, 2-propylvalerate, benzilate, cinnamate, benzoate) of 1-phenyl-3-(α-phenylpropyl)-4-diethylaminoethyl-Δ2-1,2,4- triazolin-5-one (I), 1-phenyl-3-(α-phenylpropyl)-4- dimethylaminoethyl-Δ2-1,2,4-triazolin-5-one (II), and 1-phenyl-3-(α-phenylpropyl)-4-dimethylaminopropyl-Δ2-1,2,4- triazolin-5-one (III) were prepared and showed greater analgesic activity than did HCl salts. Acute toxicities were ≥1 g/kg.
IT 979-89-5P 1103-45-3P 36166-51-5P
36166-52-6P 36166-53-7P 36166-54-8P
36166-55-9P 36166-56-0P 36166-57-1P
36166-58-2P 36166-59-3P 36166-60-6P
36166-61-7P 36166-62-8P 36166-63-9P
36166-64-0P 36166-65-1P 36166-66-2P
36176-49-5P 36176-50-8P 36176-51-9P
36176-52-0P 36176-53-1P 36176-54-2P
36204-62-3P 36305-93-8P 36613-99-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 979-89-5 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-2-phenyl-5-(1-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl √

√L10 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1966:459845 CAPLUS Full-text
DN 65:59845
OREF 65:11185a-c
TI Antiinflammatory activity of Δ-1,2,4-triazoline derivatives
AU Vacher, J.; Gold-Aubert, Ph.; Duchene-Marullaz, P.
CS Centre Europeen Rech. Mauvernay, Riom, Fr.
SO Intern. Symp. Non-steroidal Anti-Inflammatory Drugs, Milan 1964 (1965) 299-302
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB 1-Phenyl-1,2,4-triazolin-5-ones (I), substituted at positions 3 and 4, were produced by cyclization of condensation products of monosubstituted ureides. They were compared with phenylbutazone in mice but no precise relation between structure and activity could be stated. I (R = Me2NCH2CH2, Me2NCH2CHMe, or Z) had an effect similar to that of phenylbutazone, with respect to maximal inhibition of the kaolin-induced inflammatory reaction.
IT 5360-12-3
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 5360-12-3 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-1-phenyl-5-(1-phenylpropyl)- (9CI) (CA INDEX NAME)



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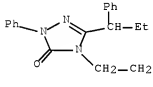
√L10 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1966:459844 CAPLUS Full-text
DN 65:59844
OREF 65:11184h,11185a
TI Comparison of the actions of ergothioneine and chlorpromazine applied to single neurons by two different methods
AU Avanzino, G. L.; Bradley, P. B.; Comis, S. D.; Wolstencroft, J. H.
CS Med. Res. Council, Med. School, Birmingham, UK
SO Intern. J. Neuropharmacol. (1966), 5(4), 331-3

DT Journal
LA English
AB Ergothioneine-HCl was applied to single neurons in the brain stem of decerebrate cats by 2 methods. With microiontophoresis, weak excitation of 10% of the medullary neurons was observed; stronger excitation of 26% of the neurons in the same region was observed by diffusion from a microtap. Chlorpromazine had inhibitory effects on a few neurons in the brain stem when applied from the microtap.
IT 5360-12-3
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 5360-12-3 CAPLUS
CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-1-phenyl-5-(1-phenylpropyl)- (9CI) (CA INDEX NAME)



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√L10 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1966:43868 CAPLUS Full-text
DN 64:43868
OREF 64:8198g-h,8199a-d
TI Triazolinone derivatives
IN Gold-Aubert, Philippe; Melkonian, Diran; Mauvernay, Roland Y.
SO 14 pp.
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6504121		19651004	NL 1965-4121	19650401
	BE 661536			BE	
PRAI	GB		19640402		

GI For diagram(s), see printed CA Issue.
AB Triazolinone derivs. of the general formula I were prepared; in formula I, R is an alkyl or substituted alkyl group and R' is H, an alkyl, or a dialkylaminoalkyl group. Et2NCONHAc (31.6 g.) and 21.6 g. PhNHNH2 stirred 10 hrs. at 175-80° yielded 24 g. I (R = Et2CH, R1 = H), m. 161° (MeOH). (CH2:CHCH2)2NCONHAc (36.4 g.) and 21.6 g. PhNHNH2 in 100 g. Decalin refluxed 10 hrs. yielded 80% I [R = (CH2:CHCH2)2CH, R1 = H], m. 112° (aqueous EtOH). EtPhCHCONHCONH2 (1030 g.) and 540 g. PhNHNH2 in 3 l. MePh refluxed 10-12 hrs. yielded 935 g. PhN(NH2)CONHCOCHEtPh (II), m. 212°. II fused with the removal of H2O gave 88% I (R = EtPhCH, R1 = H) (III), m. 175-7° (EtOH). Na (0.06 g.) in 50 cc. MeOH and 7 g. III refluxed 3 hrs. with 2 mole equivs. MeI yielded 6.3 g. I (R = EtPhCH, R1 = Me), m. 93° (MeOH). Na (0.58 g.) in 50 cc. EtOH and 7 g. III treated with stirring with 1 mole equivalent 1-(2-chloroethyl)morpholine-HCl in 50 cc. absolute EtOH and refluxed 4 hrs. yielded 7 g. I (R = EtPhCH, R' = 2-morpholinoethyl), m. 105-8°, 221-2°. I (R = EtPhCH, R1 = Me2N) (IV) (36.4 g.) in 300 cc. dry Et2O treated with stirring with 18 g. 20% absolute HCl-EtOH gave IV.HCl, m. 215-17° (absolute EtOH). By the general method were prepared the following I (R, R1, and m.p. given):

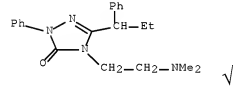
MeCH:CH, H, 189-93°; Ph, H, 235-7°; MePhCH, H, 200-2°; Ph(CH2:CHCH2)CH, H, 164-6°; BuEtCH, H, 106-8°; iso-AmEtCH, H, 94-6°; iso-Bu(CH2:CHCH2)CH, H, 106-7°; iso-Pr(CH2:CHCH2)CH, H, --(oil); EtPhCH, Me2NCH2CH2, 224-5°; EtPhCH, Me2N(CH2)3, 186-8°; EtPhCH, Me2NCH2CHMe, 217-19° EtPhCH, 2-pyrrolidinoethyl, 210°; EtPhCH, 2-piperidinoethyl, 209-12° EtPhCH, Et2NCH2CH2, 189-90°; Ph, Et2NCH2CH2, 163°; Et2CH, Et2NCH2CH2, 163-5°; (CH2:CHCH2)2CH, Et2NCH2CH2, 148-51° EtPhCH, Et, 106-10°; EtPhCH, Pr, 72-5°; EtPhCH, iso-Pr, 122-4° EtPhCH, Bu, 95°; EtPhCH, iso-Bu, 105°; EtPhCH, sec-Bu, 120-2°; EtPhCH, Am, 55-7°; EtPhCH, C6H13, 55-7°; EtPhCH, C10H21, 48-50°; EtPhCH, PhCH2, 92°; EtPhCH, CH2:CHCH2, 55-8°. The I of this invention exhibit analgesic, antipyretic, and antiinflammatory activity; their L.D.50 is in the range of 1000-3000 mg./kg.

IT 5360-12-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5360-12-3 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-1-phenyl-5-(1-phenylpropyl)- (9CI) (CA INDEX NAME)



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√L10 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1966:43867 CAPLUS Full-text

DN 64:43867

OREF 64:8198e-g

TI Oxadiazole derivatives

PA Chinoin Gyogyszer es Vegyeszeti Termekek Gyara Rt.

SO 7 pp.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6502598		19650903	NL 1965-2598	19650302
	HU 152381			HU	
PRAI	HU		19640302		

AB Ph2CHCH2C(: NOH)-NH2 (I) (24 g.) in 180 cc. dry Me2CO and 10.6 g. Na2CO3 treated dropwise with stirring with 9.1 g. CH2:CHCOCl in 10 cc. Me2-CO, kept 12 hrs., and poured into 500 cc. H2O gave 27.7 g. Ph2CHCH2C(:NO2CCH:CH2)NH2 (O-acryloyl-β,β- diphenylpropionylamidoxime) (II), m. 127°. p-ClC6H4CH2C(:NOH)NH2 (III) (18.46 g.) in 100 cc. dry Me2CO, 10.6 g. Na2CO3, and 9.1 g. CH2:CHCOCl gave similarly 20.9 g. p-ClC6H4CH2C(:NO2C-CH:CH2)NH2, m. 130°. II (5.89 g.) and 4 cc. piperidine heated 5 hrs. at 120-40° yielded 3-(2,2-diphenylethyl)-5-(2-piperidinoethyl)-1,2,4- oxadiazole-HCl (IV.HCl), m. 192-3° (absolute EtOH). III (18.46 g.) and 20 g. CH2:CHCO2Et in 150 cc. absolute EtOH treated with 2.3 g. Na in 50 cc. absolute EtOH, refluxed 8 hrs., and evaporated in vacuo, and the residue treated with 4 g. NaOH in 200 cc. H2O yielded 20.32 g. 3-(p-chlorobenzyl)-5-(2- ethoxyethyl)-1,2,4-oxadiazole which heated 5 hrs. with 16 cc. piperidine at 120-30° yielded 6.13 g. 3-(p-ClC6H4CH2) analog of IV.-HCl, m. 183°. I (12 g.), 10 g. CH2:CHCO2Et, 5.5 cc. piperidine, and 75 cc. absolute EtOH refluxed 8 hrs. with 1.15 g. Na in 25 cc.

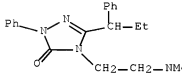
absolute EtOH and evaporated, and the residue treated with 2 g. NaOH in 100 cc. H2O yielded 11.8 g. IV which with alc. HCl gave IV.HCl. I (12 g.), 10 g. CH2:CHCO2Et, and 75 cc. absolute EtOH treated with 1.15 g. Na in 25 cc. absolute EtOH yielded 12.60 g.5-(2-EtOCH2CH2) analog of IV, b0.65 173°.

IT 5360-12-3

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5360-12-3 CAPLUS

CN 3H-1,2,4-Triazol-3-one, 4-[2-(dimethylamino)ethyl]-2,4-dihydro-1-phenyl-5-(1-phenylpropyl)- (9CI) (CA INDEX NAME)



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√L10 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1965:3065 CAPLUS Full-text

DN 62:3065

OREF 62:546e-g

TI Synthesis of new 3- and 4-substituted 1-phenyl-1,2,4-triazolin-5-ones. II

AU Gold-Aubert, Ph.; Melkonian, D.; Toribio, L.

CS Sappos S.A., Geneva, Switz.

SO Helvetica Chimica Acta (1964), 47(7), 2068-71
CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA French

OS CASREACT 62:3065

GI For diagram(s), see printed CA Issue.

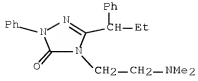
AB cf. CA 61, 5640a. I(R = EtPhCH, R1 = H) (II) (1116 g.) and 430 g. Me2NCH2CH2Cl refluxed 16 hrs. with stirring with 92 g. Na in 1500 cc. absolute EtOH and the product treated with alc. HCl yielded 1006 g. I.HCl (R = EtPhCH, R1 = CH2CH2NMe2), m. 224-5° (EtOH). II (7 g.) and 4.7 g. 2-morpholinoethyl chloride-HCl in 50 cc. absolute EtOH refluxed 6 hrs. with 1.15 g. Na in 50 cc. absolute EtOH gave I(R = EtPhCH, R1 = 2-morpholinoethyl), m. 105-8°; I.HCl m. 220-2°. Similarly were prepared the following I.HCl (R = EtPhCH) (R1 and m.p. given): Me2N(CH2)3, 186-8°; Me2NCH2CHMe, 217-19°; 2-pyrrolidinoethyl, 210°; 2-piperidinoethyl, 209-12°; Et2NCH2CH2, 189-90°. Similarly were prepared the following I.HCl (R, R1, and m.p. given): Ph, Et2NCH2CH2, 163°; Et2CH, Et2NCH2CH2, 163-5°; (CH2:CHCH2)2CH, Et2NCH2CH2, 148-51°. II (7 g.) and 0.6 g. Na in 50 cc. MeOH refluxed 3 hrs. with 7.1 g. MeI yielded 6.3 g. I (R = EtPhCH, R1 = Me), m. 93°. Similarly were prepared the following I (R = EtPhCH) (R1 and m.p. given): Et, 106-10°; Pr, 72-5°; iso-Pr, 122-4°; Bu, 95°; iso-Bu, 105°; sec-Bu, 120-2°; Am, 55-7°; n-C6H13, 55-7°; n-C10H21, 48-50°; PhCH2, 91-2°; CH2:CHCH2, 55-8°. I show antiinflammatory and analgesic activity in the rat and mouse; L.D.50 >1000 mg./kg., orally.

IT 100626-88-8 101501-84-2 101520-12-1

(Derived from data in the 7th Collective Formula Index (1962-1966))

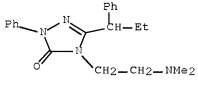
RN 100626-88-8 CAPLUS

CN Δ2-1,2,4-Triazolin-5-one, 4-[2-(dimethylamino)ethyl]-3-(α-ethylbenzyl)-1-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



√L10 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1965:3064 CAPLUS Full-text
DN 62:3064
OREF 62:546d-e
TI Some reactions of ethylene diisocyanate
AU Tilley, James N.; Sayigh, A. A. R.
CS Upjohn Co., North Haven, CT
SO Journal of Organic Chemistry (1964), 29(11), 3347-50
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB (CH2NCO)2 reacts with active H compds. such as primary and secondary amines, alcs., and mercaptans to form the novel, cyclic 1:1 adducts, 1-substituted 2-imidazolidinones, in high yield. Even with excess of the active H compound (EtOH) there is a considerable yield of the 1:1 cyclic adduct as opposed to the expected 1:2 linear adduct, the bisurethan; however, [OCN(CH2)3NCO] appears to have much less tendency to produce the analogous cyclic 1:1 adducts (hexahydropyrimidones).
IT 100626-88-8 101501-84-2 101520-12-1
(Derived from data in the 7th Collective Formula Index (1962-1966))
RN 100626-88-8 CAPLUS
CN Δ2-1,2,4-Triazolin-5-one, 4-[2-(dimethylamino)ethyl]-3-(α-ethylbenzyl)-1-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



√L10 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN

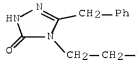
AN 1962:483231 CAPLUS Full-text
DN 57:83231
OREF 57:16598e-i,16599a-i,16600a-b
TI Researches on derivatives of 1,2,4-triazole. III. The use of ethyl hydrazinocarboxylate for the synthesis of 3-hydroxy-1,2,4-triazoles

AU Pesson, Marcel; Dupin, Simone; Antoine, Miche
CS Lab. Roger Bellon, Neuilly-sur-Seine, Fr.
SO Bulletin de la Societe Chimique de France (1962) 1364-71
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA Unavailable
OS CASREACT 57:83231
AB cf. CA 57, 808f. Hydroxytriazoles were prepared by the reaction of ethyl hydrazinocarboxylate (I) with amides and amide imidosulfonates, as well as with iminoester hydrochlorides and thioamides. Thus, 10.7 g. PhNHCHO and 10.4 g. I was refluxed 10 hrs., cooled, and dissolved in 20 cc. 20% aqueous NaOH. After extraction with Et2O, the aqueous phase was acidified with AcOH to form a precipitate, which was filtered off and recrystd. from H2O to give 3% 3-hydroxy-4-phenyl-1,2,4-triazole (II), m. 184°. I (2.5 g.) and 3 g. o-methylacetanilide (Buechner, Am. Chemical J. 333, 293(1904)) were refluxed 5 hrs. on an oil bath heated to 150°. The mixture was then dissolved in 50 cc. 2N NaOH, refluxed 4 hrs., cooled, and extracted with Et2O. The aqueous phase was acidified to form a precipitate, which was recrystd. from H2O to give 0.1 g. 3-hydroxy-4-phenyl-5-methyl-1,2,4-triazole (III), m. 150°. The poor yields caused substitution of amide imidosulfonates (Witte and Huisgen, CA 54, 1515a) in the reaction with I. To a stirred solution (cooled to between -20 and -10°) of 15 g. PhNHCHO in 20 cc. absolute C5H5N (IV) was added slowly 22.5 g. PhSO2Cl (V). After 30 min. at -15°, 16 g. I in 50 cc. CHCl3 was added below -10°. The solution was stirred 3 hrs. at normal temperature then extracted with ice-cold 2N NaOH. The organic phase was washed, dried, and concentrated to give PhN:CHNHNHCO2Et (VI), m. 178° (alc.). By a similar procedure at 10-25° were prepared the corresponding p-RC6H4NHCMe:NNHCO2Et (VII, R = H), m. 150-1° (AcOEt) (65%); VII (R = Cl) m. 130° (cyclohexane-AcOEt) (26%); VII (R = OEt) m. 130° (cyclohexane-AcOEt) (39%). VI (5.7 g.) was cyclized by refluxing 2 hrs. with 50 cc. 2N NaOH. After acidification of the solution with AcOH, the resulting precipitate was recrystd. from H2O to give 95% II. Similarly the following 3-hydroxy-1,2,4-triazoles were prepared: 4-Ph 5-Me, m. 156°; 4-(p-ClC6H4), m. 172°; 4-(p-EtOC6H4), 5-Me, m. 193°. To 20.5 g. p-EtOC6H4NHCHO and 20 cc. absolute IV in 40 cc. CHCl3 (kept between -10 and -15°) was slowly added with stirring V in CHCl3. After 30 min. 16 g. I in 20 cc. CHCl3 was added, the mixture stirred 2 hrs. at room temperature, then extracted with ice-cold 2N NaOH. The organic phase was washed with H2O, dried, and evaporated to dryness. The residue was dissolved in Et2O and extracted with 2N HCl. The aqueous extract was basified with concentrated aqueous NaOH, refluxed 2 hrs., and acidified. The resulting precipitate was washed and recrystd. from H2O to give 12% 3-hydroxy-4-(p-ethoxyphenyl)- 1,2,4-triazole, m. 180°. Due to the length of the procedure and the difficulty of isolating pure intermediates, an alternate method using iminoester hydrochlorides was investigated. Thus, to a cooled and stirred solution of ethyl iminoacetate hydrochloride in 80 cc. absolute alc. (protected from moisture) was slowly added at 0-10° 12.5 g. I in 30 cc. alc. The solution was stirred 4 hrs. at 10°, then filtered and concentrated under vacuum at 30°. Addition of 50 cc. ice H2O gave a precipitate, recrystd. from petr. ether to give 70.6% EtOCR:NNHCO2Et (VIII, R = Me), m. 68°. Similarly the following VIII were prepared in 60-80% yields (R given): PhCH2, m. 90°; Ph, m. 80°; Ph2CH, m. 124°; phthalimidomethyl, m. 142°. The derivs. of cyclohexyl benzoate (m. 114°) and phenylacetate (m. 79°) were also prepared VIII (R = Me) (1.7 g.) was heated with 1 g. PhNH2 45 min. at 120° (metal bath) then 30 min. at 150°. The mixture was next refluxed 30 min. with 50 cc. 2N NaOH, cooled, extracted with Et2O, and acidified to precipitate 70.5% III. The following 3-hydroxy-5-methyltriazoles were prepared: 4-benzyl, m. 150° (anhydrous); 4-cyclohexyl, m. 171° (58.8%), and 4-butyl, m. 80°. The reaction of ethyl phenylacetate carbethoxyhydrazone under these conditions with the appropriate amines gave the 4-substituted 3-hydroxy-5-benzyl-1,2,4-triazoles: phenyl, m. 159°; β-diethylaminoethyl, m. 110° (63.4%); γ- diethylaminopropyl, m. 224-5°

(decmpn.). Reaction of ethyl phenylacetate iminoester hydrochloride with BzNHNH2 (IX) at low temperature did not give ethyl phenylacetate benzoylhydrazone, but a substance, thought to be 2-benzyl-5-phenyl-1,3,4-oxadiazole (X), m. 105°. The structure was proved by preparing X from N-benzoyl-N'-phenacetylhydrazine (XI). A solution of 21.1 g. PhCH2CONHNH2 in 130 cc. absolute IV was cooled to 0-10° and cautiously treated with 19.7 g. BzCl. The solution was stirred 4 hrs. and diluted with H2O. The resulting precipitate was crystallized from alc.-H2O to give 38% XI, m. 158°. A mixture of 7.62 g. XI and 60 cc. POCl3 was refluxed 1 hr. Excess POCl3 was evaporated under vacuum and the residue poured on ice. The resulting precipitate was washed, dried, and recrystd. from C6H6 to give X. By causing cyclohexyl phenylacetate iminoester hydrochloride to react with IX it was possible to prepare in 76% yield the benzoylhydrazone, m. 124°. However, reaction of thi compound with PhNH2 also gave X. Attempts to cyclize the carbethoxyhydrazones of ethyl benzoate and ethyl diphenylacetate with Et2N(CH2)3NH2 did not give the expected products. Reaction of thioamides with I gave H2NCR:NNHCOR (XII), which could be cyclized to triazoles. Thus, phenyl isothiocyanate (XIII) (13.5 g.) was caused to react with the reagent prepared from 24.4 g. cyclohexyl bromide and 3.65 g. Mg in 100 cc. absolute Et2O. After standing overnight, the mixture was hydrolyzed with aqueous HCl to give 95% cyclohexanecarboxylic acid thioanilide, m. 150° (EtOH). Similarly, 9 g. XIII, 15 g. Et2N(CH2)3Cl, 2.4 g. Mg, and 50 cc. tetrahydrofuran gave 26.3% ω-diethylaminothiovaleranilide, m. 145° (EtOH) (as oxalate). From 15.5 g. PhBr, Mg and 8.5 g. γ-diethylaminopropyl isothiocyanate was obtained 66% N-(γ-diethylaminopropyl)thiobenzamide, m. 60° (decomposition) (petr. ether). PhCSNH2 (XIV) (1.37 g.) and 1.03 g. I were heated 3 hrs. at 140-50°, then cooled. Recrystn. from alc. gave 3-hydroxy-5-phenyl-1,2,4-triazole, m. 320° (Young and Wilham, J. Chemical Society 77, 224(1900)). Heating 2.74 g. XIV with 3 g. IX gave 73.5% 3,5-diphenyl-1,2,4-triazole, m. 191-2° (Atkinson and Polya, CA 49, 11630g). Similarly were prepared the following triazoles: 3-hydroxy-5-piperidino- methyl, m. 229° (Me2CO-alc.); and 3-hydroxy-4-phenyl-5-cyclohexyl, m. 209-10° (AcOEt). A mixture of 3.86 g. thiovaleranilide, 2.47 g. I, and 10 cc. IV was refluxed 8 hrs. then evaporated under vacuum. The residue was dissolved in 15 cc. 2N NaOH, the solution filtered, and acidified. The precipitate was washed, dried, and recrystd. from cyclohexane to give 3-hydroxy-4-phenyl-5-butyl-1,2,4- triazole, m. 119°. The corresponding 5-(γ-diethylaminopropyl) compound was also prepared Its hydrochloride, after recrystn. from Me2CO alc., m. 196°. A mixture 3.74 g. α-(N-piperidino)thioacetamide (Braun, et al., CA 55, 25917g), 4.82 g. IX, and 10 cc. IV was refluxed 8 hrs. then concentrated The residue was dissolved in 30 cc. 2N NaOH, the solution filtered, and acidified with AcOH until the initially-formed precipitate had redissolved. After Et2O extraction and basification with aqueous NH3, an oil formed, which crystallized on standing. Recrystn. from Me2CO gave 3-phenyl-5-piperidinomethyl-1,2,4-triazole, m. 150°. A suspension of 5.5 g. N-cyclohexylthiobenzamide in 10 cc. MeOH was treated with 4 g. Me2SO4, stirred 2 hrs., and diluted with 50 cc. H2O. After Et2O extraction the aqueous phase was basified, extracted with Et2O, the extract dried, and evaporated to give 6 g. crude S-methylthioamide. This was mixed with 6 g. I and 1.8 cc. AcOH then heated 30 min. at 90°. The cooled solid mass was dissolved in 24 cc. boiling 2N NaOH, the solution filtered, and acidified to give a precipitate, which was washed, dried, and recrystd. from alc. to give 3-hydroxy-4-cyclohexyl-5-phenyl-1,2,4- triazole, m. 213-14°. Conversion to the S-methyl derivative was found to be necessary to enable cyclization.

IT 92701-36-5P, 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-[2-(diethylamino)ethyl]- 93155-93-2P, 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-[3-(diethylamino)propyl]- 98069-95-3P, 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-[3-(dimethylamino)propyl]-, hydrochloride 303656-24-8P, 4H-1,2,4-Triazol-3-ol,

5-benzyl-4-[3-(dimethylamino)propyl]-
RL: PREP (Preparation)
(preparation of)
RN 92701-36-5 CAPLUS
CN 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-[2-(diethylamino)ethyl]- (6CI, 7CI) (CA INDEX NAME)



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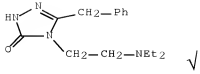
√L10 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1961:144130 CAPLUS Full-text
DN 55:144130
OREF 55:27284a-d
TI Preparation of 3-hydroxy-1,2,4-triazole from imino ethers
AU Pesson, Marcel; Dupin, Simone; Antoine, Michel
SO Compt. rend. (1961), 253, 285-7
DT Journal
LA Unavailable
OS CASREACT 55:144130
AB A solution of 18.4 g. [MeC(OEt):NH2]Cl in 80 ml. absolute alc. was stirred, cooled, and treated with a solution of 12.5 g. NH2NHCO2Et in 30 ml. absolute alc. at 0-10°. After stirring 4 hrs. below 10%, the mixture was filtered, concentrated at 30°, and the viscous residue crystallized by the addition of 50 ml. H2O to give 70% RC(OEt):NNHCO2Et (I) (R=Me), m. 68° (Et2O-petr. ether). The following other I were made (R and m.p. given): PhCH2, 90°; Ph (II), 80°; Ph2CH (III), 124°; o-C6H4(CO)2NCH2 (IV), 142°. Boiling the above compds. with excess amine at 150° gave the 3-hydroxy-1,2,4-triazoles, isolated by alkaline extraction, acidification, and recrystn. The following substituted 3-hydroxy-5-methyl-1,2,4-triazoles (V) or 3-hydroxy-5-benzyl-1,2,4- triazoles (VI) were prepared Substituent, m.p., and % yield were given for V: 4-Ph, 155%, 70; 4-PhCH2, 100° (with 1 H2O), 150° (anhydrous), -; 4-C6H11, 171°, 59; 4-Bu, 80°, 62. The data for VI (substituent and m.p. given) were: 4-Ph, 159°; 4-Et2N(CH2)2, 110°; 4-Et2N(CH2)3, 92-3°. Condensation of II with amines was difficult, and with PhNH2 (VII) only 12% 3-hydroxy-4,5-diphenyl-1,2,4-triazole, m. 259°, was obtained. II and Me2N(CH2)3NH2 (VIII) gave a compound, C16H24N4O2, m. 99°, which is either PhC(NHNHCO2Et): N(CH2)3NMe2 or PhC(OEt):NNHCONH(CH2)3NMe2. III could not be condensed with VII, and with VIII a product, C22H30N4O2, m. 144°, was obtained. IV gave resinous products which were not identified.
IT 92701-36-5P, 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-(2-diethylaminoethyl)- 132129-12-5P, 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-(2-diethylaminopropyl)-
RL: PREP (Preparation)
(preparation of)
RN 92701-36-5 CAPLUS
CN 4H-1,2,4-Triazol-3-ol, 5-benzyl-4-[2-(diethylamino)ethyl]- (6CI, 7CI) (CA INDEX NAME)



SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 15:05:58 ON 03 JUL 2008